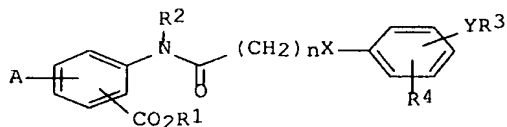


L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:514224 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:73259  
 TITLE: VEGF receptor antagonists for treatment of  
 neoangiogenesis-related diseases  
 INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Takayama,  
 Tetsuo; Sato, Masakazu; Yamagishi, Takehiro; Shibuya,  
 Masashi  
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193800	A2	20020710	JP 2000-391704	
20001222 <--				
PRIORITY APPLN. INFO.: 20001222			JP 2000-391704	
OTHER SOURCE(S): GI		MARPAT 137:73259		



AB VEGF receptor antagonists (I; R1, R2, R3 = H, C1-6 alkyl; R4 = H, C8-25 alkyl, etc.; A = S(O)qR', with q = 0, 1, 2 and R' = C1-6 alkyl, etc.; n = 0-15) and their pharmaceutically acceptable salts are claimed for treatment of neoangiogenesis-related diseases, including diabetic retinopathy, chronic rheumatism, solid tumor, and brain edema from ischemia-reperfusion injury.

L2 ANSWER 1 OF 1 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2002-587011 [63] WPIDS Full-text  
 DOC. NO. CPI: C2002-166201  
 TITLE: New anilide derivatives are VEGF receptor  
 antagonists,  
 angiogenesis  
 useful for treatment of diseases caused by  
 or promoted vascular permeability e.g. diabetic  
 retinopathy, rheumatoid arthritis.

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DERWENT CLASS: B05  
 PATENT ASSIGNEE(S): (TAIS) TAISHO PHARM CO LTD  
 COUNTRY COUNT: 1  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 2002193800	A	20020710	(200263)*		34<--

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 2002193800	A	JP 2000-391704	20001222

PRIORITY APPLN. INFO: JP 2000-391704 20001222

AB JP2002193800 A UPAB: 20021001

NOVELTY - Anilide derivatives (I) and their salts are new.

DETAILED DESCRIPTION - Anilide derivatives of formula (I) and their salts are new.

R1 = H or 1-6C alkyl;

R2 = H, 1-6C alkyl, 3-8C cycloalkyl(1-3C)alkyl, phenyl(1-3C)alkyl, CH<sub>2</sub>CO<sub>2</sub>R<sub>5</sub> or CH<sub>2</sub>CON(R<sub>6</sub>)R<sub>7</sub>;

R3 = 8-25C alkyl, (CH<sub>2</sub>)pCO<sub>2</sub>R<sub>11</sub> or (CH<sub>2</sub>)<sub>3</sub>CONHCH(R<sub>12</sub>)CONHR<sub>13</sub>; p = 1-20;

R11 = H or 1-6C alkyl;

R12 = H or (CH<sub>2</sub>)CO<sub>2</sub>R<sub>14</sub>;

R13 = 1-20C alkyl;

R14 = H or 1-6C alkyl;

R4 = H, OR<sub>9</sub> or CO<sub>2</sub>R<sub>10</sub>;

R<sub>9</sub>, R<sub>10</sub> = H or 1-6C alkyl;

A = S(O)qR<sub>15</sub>, or a group of formula (i) or (ii); q = 0-2;

R<sub>15</sub> = 1-6C alkyl; phenyl(1-3C)alkyl or (CH<sub>2</sub>)mOR<sub>16</sub>; m = 2-3;

R<sub>16</sub> = H or CH<sub>3</sub>OCH<sub>2</sub>;

Y<sub>2</sub> = O, S or N(R<sub>24</sub>);

R<sub>24</sub> = H or 1-6C alkyl;

Z = CH or N;

R<sub>17</sub> = H, CO<sub>2</sub>R<sub>19</sub>, CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub>, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>R<sub>21</sub> or CH=CHCO<sub>2</sub>R<sub>22</sub>; R<sub>19</sub>-R<sub>22</sub> = H or 1-6C alkyl;

R<sub>18</sub> = H or CO<sub>2</sub>R<sub>23</sub>;

R<sub>23</sub> = 1-6C alkyl;

R<sub>25</sub> = H or CO<sub>2</sub>R<sub>26</sub>;

R<sub>26</sub> = H or 1-6C alkyl;

X = bond, O, CH=CH, CO or N(R<sub>27</sub>); R<sub>27</sub> = H or (CH<sub>3</sub>)<sub>3</sub>COCO;

Y<sub>1</sub> = O, CONH, NHCO or N(R<sub>28</sub>);

R<sub>28</sub> = H or CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; and

n = 0-15.

ACTIVITY - Antidiabetic; Ophthalmological; Antirheumatic; Antiarthritic; Cytostatic; Antiinflammatory; Circulatory.

MECHANISM OF ACTION - Vascular endothelial growth factor (VEGF) receptor antagonist; Antiangiogenic.

USE - The anilide derivatives are used as vascular endothelial growth factor (VEGF) antagonists for the treatment of diseases caused by angiogenesis or promoted vascular permeability such as diabetic retinopathy, rheumatoid arthritis, solid tumor or brain edema caused by ischemic re-perfusion disorder (claimed).

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ADVANTAGE - The anilide inhibits angiogenesis or promoted vascular permeability by inhibiting vascular endothelial growth factor (VEGF) dependent vascular endothelial cell proliferation. Dwg.0/0

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